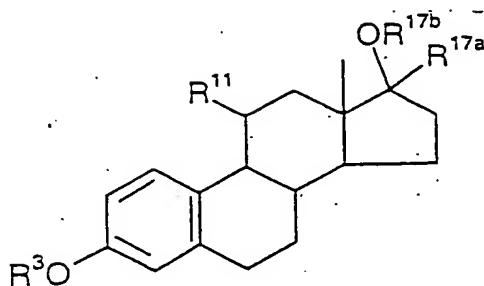


## Claims:

1. 11 $\beta$ -Long-chain-substituted estratrienes of general formula I



in which

$R^3$  means a hydrogen atom, a hydrocarbon radical with up to 8 carbon atoms or a radical of partial formula  $R^{3'}-C(O)-$ , in which  $R^{3'}$  means a hydrogen atom or a hydrocarbon radical with up to 8 carbon atoms or a phenyl radical,

$R^{11}$  means a radical of formula  $-A-B-Z-R^{20}$ ,

in which

A stands for a direct bond, and

B stands for a straight-chain or branched-chain alkylene, alkenylene or alkinylene group with 4, 5 or 6 carbon atoms, or

A stands for a phenylene radical, and

B stands for a methylene, ethylene, propylene or trimethylene group, or

202050-62481001

- A stands for a phenylenoxy radical, whereby the latter is bonded via a carbon atom to the 11-carbon atom of the steroid, and
- B stands for an ethylene group,
- Z stands for  $-NR^{21}-$  and  $R^{21}$  stands for a  $C_1-C_3$  alkyl group,

whereby  $R^{20}$  means

a hydrogen atom,

a straight-chain or branched-chain alkyl, alkenyl or alkinyl group with up to 10 carbon atoms,

whereby if A is a direct bond,  $R^{20}$  and  $R^{21}$  do not both simultaneously mean methyl, however, and, if A is a phenylenoxy radical,  $R^{20}$  and  $R^{21}$  do not both simultaneously mean methyl or ethyl, and if A is a phenylenoxy radical and B means an ethylene group,  $OR^{17b}$  should not be a hydroxy group and  $R^{17a}$  should not be a  $C_{1-4}$  alkyl group, and  $R^3$  should not be a hydrogen atom,

or one of groupings

$-D-C_nF_{2n+1}$ , whereby D is a straight-chain or branched-chain alkylene, alkenylene or alkinylene group with up to 8 carbon atoms and n is an integer from 1 to 8,

D-aryl, whereby D has the already indicated meaning, and aryl stands for a phenyl, 1- or

20250-6481001

2-naphthyl radical or a heteroaryl radical that is optionally substituted in one or two places,

$-L-CH=CF-C_pF_{2p+1}$ , whereby L is a straight-chain or branched-chain alkylene, alkenylene or alkynylene group with up to 7 carbon atoms and p is an integer from 1 to 7,

whereby in the three cases above in D or L, a methylene group can be replaced by a sulfur atom, a sulfone group or a sulfoxide group,

$-D-O-(CH_2)_q-aryl$ , whereby D and aryl have the already indicated meanings, and q is 0, 1, 2 or 3,

$-D-O-(CH_2)_r-C_nF_{2n+1}$ , whereby D and n have the already indicated meanings, and r stands for an integer from 1 to 5,

whereby in addition in all relevant cases above,  $R^{21}$  together with D with the inclusion of the nitrogen atom can then form a pyrrolidine ring that is substituted in 2- or 3-position,

or

if A is a direct bond or a phenylene radical,  $R^{20}$  and  $R^{21}$  with the nitrogen atom to which they are bonded form a saturated or unsaturated heterocyclic compound with 5 or 6

chain links, which optionally contains one or two additional heteroatoms, selected from nitrogen, oxygen and sulfur, and optionally is substituted,

whereby if A is a phenylene radical and B is a trimethylene radical,  $R^{21}$  and  $R^{20}$  do not form a methyl or ethyl group, or, together with the nitrogen atom to which they are bonded, do not form a pyrrolidine or piperidine ring,

and

$R^{17a}$  in  $\alpha$ - or  $\beta$ -position means a hydrogen atom, a  $C_{1-5}$  alkyl, a  $C_{2-5}$  alkenyl or a  $C_{2-5}$  alkynyl group or a trifluoromethyl group, or together with the radical OR<sup>17b</sup> means a keto-oxygen atom, and

$R^{17b}$  means a hydrogen atom or a radical of partial formula  $R^{17'}-C(O)-$ , in which  $R^{17'}$  means a hydrogen atom or a hydrocarbon radical with up to 8 carbon atoms.

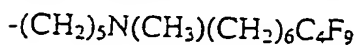
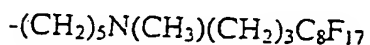
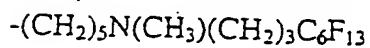
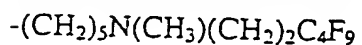
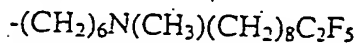
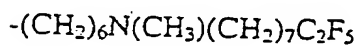
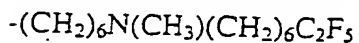
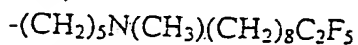
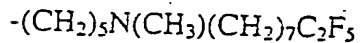
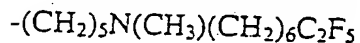
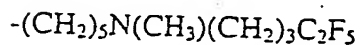
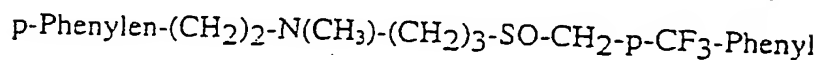
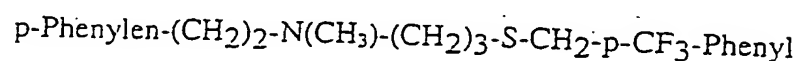
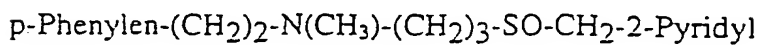
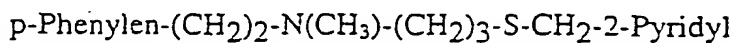
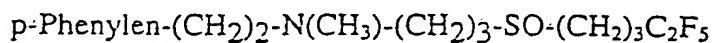
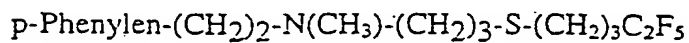
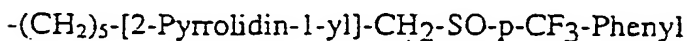
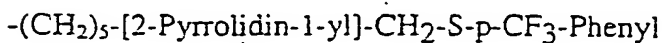
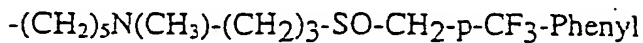
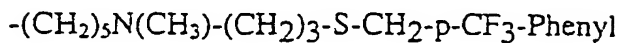
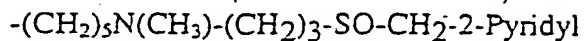
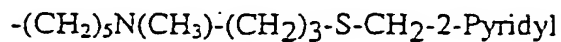
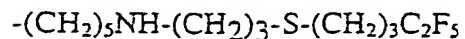
2. 11 $\beta$ -Substituted estratrienes according to claim 1, in which  $R^3$  is a hydrogen atom.

3. 11 $\beta$ -Substituted estratrienes according to claim 1, in which  $R^3$  is a benzoyl radical.

4. 11 $\beta$ -Substituted estratrienes according to claim 1, in which  $R^{17b}$  is a hydrogen atom.

5. 11 $\beta$ -Substituted estratrienes according to claim 1, in which  $R^{11}$  is selected from the group of the following side chains

202050-62481001



$-(\text{CH}_2)_5\text{N}(\text{CH}_3)(\text{CH}_2)_6\text{C}_6\text{F}_{13}$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)(\text{CH}_2)_6\text{C}_8\text{F}_{17}$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)\text{H}$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)(\text{CH}_2)_9\text{H}$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)\text{CH}_2\text{CH}=\text{CF}-\text{C}_2\text{F}_5$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)\text{CH}_2\text{CH}=\text{CF}-\text{C}_3\text{F}_7$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)\text{CH}_2\text{CH}=\text{CF}-\text{C}_5\text{F}_{11}$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)\text{CH}_2\text{CH}=\text{CF}-\text{C}_7\text{F}_{15}$   
 $-(\text{CH}_2)_5-1\text{-Pyrrolidinyl}$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)(\text{CH}_2)_3\text{O}^{\text{Phenyl}}$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)(\text{CH}_2)_3\text{O}^{\text{Benzyl}}$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)(\text{CH}_2)_3\text{O}(\text{CH}_2)_3\text{C}_2\text{F}_5$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)(\text{CH}_2)_3\text{CH}(\text{CH}_3)_2$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)(\text{CH}_2)_3\text{-Pyridyl}$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)(\text{CH}_2)_3\text{-Phenyl}$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)(\text{CH}_2)_2\text{-p-Tolyl}$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)(\text{CH}_2)_2\text{-p-Ethoxyphenyl}$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)(\text{CH}_2)_3\text{-p-Tolyl}$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)(\text{CH}_2)_3\text{-p-Chlorophenyl}$   
 $-(\text{CH}_2)_5\text{N}(\text{CH}_3)(\text{CH}_2)_3\text{-O-CH}_2\text{-Phenyl}$

[Key:]

$-(\text{CH}_2)_5\text{-[2-Pyrrolidin-1-yl]}-\dots = (\text{CH}_2)_5\text{-[2-pyrrolidine-1-yl]}-\dots$

$\text{p-Phenylene}-\dots = \text{p-phenylene}-\dots$

202050-62481001

6. 11 $\beta$ -Long-chain-substituted estratrienes of general formula I, namely

11 $\beta$ -[5-(Methyl{3-[(4,4,5,5,5-pentafluoropentyl)sulfanyl]-propyl}amino)pentyl]estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -(5-{3-[(4,4,5,5,5-pentafluoropentyl)sulfanyl]-propylamino}pentyl)estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -[5-(methyl{3-[(2-pyridylmethyl)sulfanyl]propyl}-amino)pentyl]estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -[5-(methyl{3-[(2-pyridylmethyl)sulfinyl]propyl}-amino)pentyl]estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -[5-(methyl{3-[4-(trifluoromethyl)benzylsulfanyl]-propyl}amino)pentyl]estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -[5-(methyl{3-[4-(trifluoromethyl)benzylsulfinyl]propyl}-amino)pentyl]estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -(5-[(2S)-2-{[4-(trifluoromethyl)phenyl]sulfanyl-methyl}pyrrolidine-1-yl]pentyl)estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -(5-[(2S)-2-{[4-(trifluoromethyl)phenyl]sulfinyl-methyl}pyrrolidine-1-yl]pentyl)estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -(4-[2-(methyl{3-[(4,4,5,5,5-pentafluoropentyl)sulfanyl]-propyl}amino)ethyl]phenyl)estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -(4-[2-(methyl{3-[(4,4,5,5,5-pentafluoropentyl)sulfinyl]-propyl}amino)ethyl]phenyl)estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -(4-[2-(methyl{3-[(2-pyridylmethyl)sulfanyl]propyl}-amino)ethyl]phenyl)estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -(4-[2-(methyl{3-[(2-pyridylmethyl)sulfinyl]propyl}-amino)ethyl]phenyl)estra-1,3,5(10)-triene-3,17 $\beta$ -diol

10018429.050702

11 $\beta$ -{4-[2-(methyl{3-[4-(trifluoromethyl)benzylsulfanyl]-propyl}amino)ethyl]phenyl}estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{4-[2-(methyl{3-[4-(trifluoromethyl)benzylsulfinyl]propyl}amino)ethyl]phenyl}estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[methyl-(8,8,9,9,9-pentafluoro-nonyl)amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[methyl-nonyl-amino]pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[methyl-(9,9,10,10,10-pentafluoro-decyl)-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{6-[methyl-(8,8,9,9,9-pentafluoro-nonyl)-amino]-hexyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{6-[methyl-(9,9,10,10,10-pentafluoro-decyl)-amino]-hexyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -[5-(methyl-amino)-pentyl]-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -(5-pyrrolidine-1-yl-pentyl)-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[methyl-(4,4,5,5,5-pentafluoro-pentyl)-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[methyl-(4,4,5,5,6,6,7,7,8,8,9,9,9-tridecafluoro-nonyl)-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[(4,4,5,5,6,6,7,7,8,8,9,9,10,10,11,11,11-heptadecafluoro-undecyl)-methyl-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

202050-62481001



11 $\beta$ -{5-[methyl-(3,3,4,4,5,5,6,6,6-nonafluoro-hexyl)-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[methyl-(7,7,8,8,8-pentafluoro-octyl)-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{6-[methyl-(7,7,8,8,8-pentafluoro-octyl)-amino]-hexyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[methyl-(7,7,8,8,9,9,10,10,10-nonafluoro-decyl)-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[methyl-(7,7,8,8,9,9,10,10,11,11,12,12,12,12-tridecafluoro-dodecyl)-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[(7,7,8,8,9,9,10,10,11,11,12,12,13,13,14,14,14-heptadecafluoro-tetradecyl)-methyl-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[(3,4,4,5,5,5-hexafluoro-pent-2-enyl)-methyl-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[(3,4,4,5,5,6,6,7,7,8,8,8-dodecafluoro-oct-2-enyl)-methyl-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[(3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-hexadecafluoro-dec-2-enyl)-methyl-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[methyl-(3-phenoxy-propyl)-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[(3-benzyloxy-propyl)-methyl-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

202050-6248T001

11 $\beta$ -{5-[N-methyl-N-3-(4,4,5,5,5-pentafluoropentyloxy)-propylamino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -[9-(4,4,5,5,5-pentafluoropentylsulfinyl)-nonyl]-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[methyl-(2-p-tolyl-ethyl)-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -(5-{[2-(4-ethoxy-phenyl)-ethyl]-methyl-amino}-pentyl)-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[methyl-(3-phenyl-propyl)-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[methyl-(3-pyridin-3-yl-propyl)-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[methyl-(3-p-tolyl-propyl)-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -(5-{[3-(4-chloro-phenyl)-propyl]-methyl-amino}-pentyl)-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -(5-{[3-(4-ethoxy-phenyl)-propyl]-methyl-amino}-pentyl)-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

11 $\beta$ -{5-[methyl-(4-methyl-pentyl)-amino]-pentyl}-estra-1,3,5(10)-triene-3,17 $\beta$ -diol

7. Use of the compounds of general formula I according to claim 1 for the production of pharmaceutical agents.

8. Pharmaceutical preparations that contain at least one compound of general formula I according to claim 1 as well as a pharmaceutically compatible vehicle.

10018429-050702